## We Claim

1. A compound of general formula (1)

2

4

6

18

1

$$(R^2)_n = \begin{pmatrix} 1 & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

7 wherein:

R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> may be same or different and are independently selected from the groups 8 9 consisting of hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, 10 substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl, 11 substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or 12 unsubstituted heteroaryl, substituted or unsubstituted heterocyclic ring, substituted or 13 unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -14 C(O)O-R<sup>1</sup>, -C(O)NR<sup>1</sup>R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl, 15 acetyl, halogen,-OR1, -SR1, protecting groups or when two R2 substitutents ortho to each 16 other, may be joined to a form a saturated or unsaturated cyclic ring, which may 17

- 19 wherein P represents oxygen or sulfur;
- 20 wherein n represents 0-4;
- 21 Ar is substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted
- 22 or unsubstituted heterocyclic ring or substituted or unsubstituted heteroaryl ring;

optionally include up to two heteroatoms selected from O, NR<sup>1</sup> or S;

- 23 X is oxygen, S(O)<sub>m</sub> or NR<sup>5</sup>;
- 24 R<sup>5</sup> represents hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted
- 25 alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl,
- 26 substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl,
- 27 substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or

28 unsubstituted heteroaryl, substituted or unsubstituted heterocyclic group, substituted or

- 29 unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -
- 30 C(O)O-R<sup>1</sup>, -C(O)NR<sup>1</sup>R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl,
- 31 acetyl, halogen, -OR<sup>2</sup>, -SR<sup>2</sup> and protecting groups
- 32 wherein m is 0, 1 or 2;
- 33 Y is  $-C(O)NR^4$ ,  $-NR^4SO_2$ ,  $-SO_2NR^4$  or  $-NR^4C(O)$ ;
- R<sup>4</sup> is hydrogen, substituted or unsubstituted alkyl, hydroxyl, -OR<sup>1</sup>, -COOR<sup>1</sup>, substituted
- or unsubstituted aryl, substituted or unsubstituted heterocyclic ring;
- 36 and their analogs, their tautomers, their regioisomers, their stereoisomers, their
- 37 enantiomers, their diastereomers, their polymorphs, their pharmaceutically acceptable
- 38 salts, their N-oxides, their pharmaceutically acceptable solvates and their pharmaceutical
- 39 compositions containing them or pharmaceutically acceptable salts thereof.

40

19 20

1 2. A compound according to claim 1 wherein the substituents in the 2 'substituted alkyl', 'substituted alkoxy' 'substituted alkenyl' 'substituted alkynyl' 3 'substituted cycloalkyl' 'substituted cycloalkylalkyl' 'substituted cyclocalkenyl' 4 'substituted arylalkyl' 'substituted aryl' 'substituted heterocyclic ring', 'substituted 5 heteroaryl ring,' 'substituted heteroarylalkyl', 'substituted heterocyclylalkyl ring', 6 'substituted amino', 'substituted alkoxycarbonyl', 'substituted cyclic ring' 'substituted 7 alkylcarbonyl', 'substituted alkylcarbonyloxy' and may be the same or different which one or more selected from the groups such as hydrogen, hydroxy, halogen, carboxyl, 8 9 cyano, nitro, oxo (=O), thio(=S), substituted or unsubstituted alkyl, substituted or 10 unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted .11 alkynyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, 12 substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, 13 substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, 'substituted heterocyclylalkyl ring' substituted or unsubstituted 14 15 heteroarylalkyl, substituted or unsubstituted heterocyclic ring, substituted or unsubstituted 16 guanidine, -COOR\*, -C(0)R\*, -C(S)R\*, -C(0)NR\*R\*, -C(0)ONR\*R\*, -NR\*CONR\*R\*, - $N(R^x)SOR^y$ ,  $-N(R^x)SO_2R^y$ ,  $-(=N-N(R^x)R^y)$ ,  $-NR^xC(O)OR^y$ ,  $-NR^xR^y$ ,  $-NR^xC(O)R^y$ -,  $-NR^xC(O$ 17  $NR^{x}C(S)R^{y}$  - $NR^{x}C(S)NR^{y}R^{z}$ , - $SONR^{x}R^{y}$ -, - $SO_{2}NR^{x}R^{y}$ -, - $OR^{x}$ , - $OR^{x}C(O)NR^{y}R^{z}$ , -18

 $OR^{x}C(O)OR^{y}$ -,  $-OC(O)R^{x}$ ,  $-OC(O)NR^{x}R^{y}$ ,  $-R^{x}NR^{y}C(O)R^{z}$ ,  $-R^{x}OR^{y}$ ,  $-R^{x}C(O)OR^{y}$ ,  $-R^{y}C(O)R^{y}$ ,  $-R^{y}C$ 

R<sup>x</sup>C(O)NR<sup>y</sup>R<sup>z</sup>, -R<sup>x</sup>C(O)R<sup>x</sup>, -R<sup>x</sup>OC(O)R<sup>y</sup>, -SR<sup>x</sup>, -SOR<sup>x</sup>, -SO<sub>2</sub>R<sup>x</sup>, -ONO<sub>2</sub>, wherein R<sup>x</sup>, R<sup>y</sup>

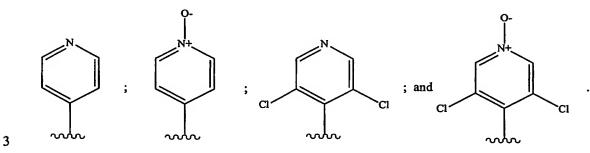
21 and R<sup>z</sup> in each of the above groups can be hydrogen atom, substituted or unsubstituted

- 22 alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted
- 23 or unsubstituted alkynyl, substituted or unsubstituted aryl, substituted or unsubstituted
- 24 arylalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted
- 25 cycloalkenyl, substituted or unsubstituted amino, substituted or unsubstituted aryl,
- substituted or unsubstituted heteroaryl, 'substituted heterocyclylalkyl ring' substituted or
- 27 unsubstituted heteroarylalkyl, substituted or unsubstituted heterocyclic ring,

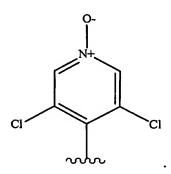
- 1 3. The compound according to claim 1 wherein R<sup>1</sup> is substituted alkyl.
- 1 4. The compound according to claim 3 wherein R<sup>1</sup> is CHF<sub>2</sub>.
- 1 5. The compound according to claim 1 wherein R<sup>1</sup> is unsubstituted alkyl.
- 1 6. The compound according to claim 5 wherein R<sup>1</sup> is methyl.
- 1 7. The compound according to claims 1-5 or 6 wherein P is O or S.
- 1 8. The compound according to claim 7 where P is O.
- 1 9. The compound according to claims 1-7 or 8 wherein R<sup>2</sup> is selected from the group
- 2 consisting of substituted alkyl, halogen, cyano, nitro, amino, substituted heterocyclic and
- 3  $SO_2NR^1R^1$  and n=1.
- 1 10. The compound according to claim 9 wherein R<sup>2</sup> is chloro.
- 1 11. The compound according to claim 9 wherein R<sup>2</sup> is substituted alkyl.
- 1 12. The compound according to claim 11 wherein R<sup>2</sup> is CF<sub>3</sub>.
- 1 13. The compound according to claim 9 wherein R<sup>2</sup> is -NH<sub>2</sub>.
- 1 14. The compound according to claim 9 wherein R<sup>2</sup> is -SO<sub>2</sub>NR<sup>1</sup>R<sup>2</sup>.
- 1 15. The compound according to claim 14 wherein R<sup>2</sup> is SO<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>.
- 1 16. The compound according to claims 1-14 or 15 wherein Y is -C(O)NH-.
- 1 17. The compound according to claims 1-15 or 16 wherein Ar is selected from the
- 2 group consisting of substituted or unsubstituted 4-pyridyl; substituted or unsubstituted 4-
- 3 pyridyl-N-oxide; substituted or unsubstituted 3 pyridyl, substituted or unsubstituted 3
- 4 pyridyl-N-oxide; substituted or unsubstituted 2 pyridyl; and substituted or unsubstituted 2
- 5 pyridyl N-oxide.

1 18. The compound according to claim 17 wherein said Ar is substituted with a

- 2 halogen.
- 1 19. The compound according to claim 18 wherein said halogen is chloro.
- 1 20. The compound according to claim 17 wherein Ar is selected from the group
- 2 consisting of



1 21. The compound according to claim 20 wherein Ar is



- 1 22. A compound according to claim 1, N-(3,5-dichloropyrid-4-yl)-4-methoxy
- 2 dibenzo[b,d]furan-1-carboxamide.
- 1 23. A compound according to claim 1, N-(3,5-dichloropyrid-4-yl)-4-methoxy
- 2 dibenzo[b,d]furan-1-carboxamide-N1-oxide.
- 1 24. A compound according to claim 1, N-(pyrid-4-yl)-4-methoxy dibenzo[b,d]furan-
- 2 1-carboxamide.
- 1 25. A compound according to claim 1, N-(pyrid-4-yl)-4-methoxy dibenzo[b,d]furan-
- 2 1-carboxamide-N1-oxide.
- 1 26. A compound according to claim 1, N-(3, 5-dichloropyrid-4-yl)-4-methoxy-8-
- 2 trifluoromethyl dibenzo[b,d]furan-1-carboxamide.
- 1 27. A compound according to claim 1, N-(3, 5-dichloropyrid-4-yl)-4-methoxy-8-
- 2 trifluoromethyl dibenzo[b,d]furan-1-carboxamide-N1-oxide.

1 28. A compound according to claim 1, N-(pyrid-4-yl)-4-methoxy-8-trifluoromethyl

- 2 dibenzo[b,d]furan-1-carboxamide.
- 1 29. A compound according to claim 1, N-(3, 5-dichloropyrid-4-yl)-4-
- 2 difluoromethoxy-8-trifluoromethyl dibenzo[b,d]furan-1-carboxamide.
- 1 30. A compound according to claim 1, N-(3, 5-dichloropyrid-4-yl)-4-
- 2 difluoromethoxy-8-trifluoromethyl dibenzo[b,d]furan-1-carboxamide-N1-oxide.
- 1 31. A compound according to claim 1, N-(pyrid-4-yl)-4-difluoromethoxy-8-
- 2 trifluoromethyl dibenzo[b,d]furan-1-carboxamide.
- 1 32. A compound according to claim 1, N-(3, 5-dichloropyrid-4-yl)-4-difluoromethoxy
- 2 dibenzo[b,d]furan-1-carboxamide.
- 1 33. A compound according to claim 1, N-(pyrid-4-yl)-4-difluoromethoxy
- 2 dibenzo[b,d]furan-1-carboxamide.
- 1 34. A compound according to claim 1, N-(3,5-dichloropyrid-4-yl)-4-methoxy-8-nitro
- 2 dibenzo[b,d]furan-1-carboxamide.
- 1 35. A compound according to claim 1, N-(3,5-dichloropyrid-4-yl)-4-methoxy-8-
- 2 chloro-dibenzo[b,d]furan-1-carboxamide.
- 1 36: A compound according to claim 1, N-(3,5-dichloropyrid-4-yl)-4-methoxy-8-
- 2 bromo-dibenzo[b,d]furan-1-carboxamide.
- 1 37. A compound according to claim 1, N-(3,5-dichloropyrid-4-yl)-4-methoxy-8-iodo-
- 2 dibenzo[b,d]furan-1-carboxamide.
- 1 38. A compound according to claim 1, N-(3,5-dichloropyrid-4-yl)-4-methoxy-8-
- 2 amino-dibenzo[b,d]furan-1-carboxamide.
- 1 39. A compound according to claim 1, N-(3,5-dichloropyrid-4-yl)-4-difluoromethoxy-
- 2 dibenzo[b,d]furan-1-carboxamide-N-oxide.
- 1 40. A compound according to claim 1, N4-(3, 5-dichloro-4-pyridyl) -9-benzyl -6-
- 2 chloro-1-methoxy-9H-4-carbazole carboxamide.
- 1 41. A compound according to claim 1, N4-(3, 5-dichloro-4-pyridyl) -6-chloro-9-
- 2 cyclohexylmethyl -1-methoxy-9H-4-carbazole carboxamide.

1 42. A compound according to claim 1, N4-(3, 5-dichloro-4-pyridyl) -6-chloro-9-(4-

- 2 fluorobenzyl)-1-methoxy-9H-4-carbazole carboxamide.
- 1 43. A compound according to claim 1, N4-(3, 5-dichloro-4-pyridyl) -6-chloro-9-(4-
- 2 methoxybenzyl)-1-methoxy-9H-4-carbazolecarboxamide.
- 1 44. A compound according to claim 1, N-(3,5-dichloropyrid-4-yl)-4-methoxy-8-
- 2 cyano-dibenzo[b,d]furan-1-carboxamide.
- 1 45. A compound according to claim 1, N-(3,5-dichloropyrid-4-yl)-4-difluoromethoxy-
- 2 8-nitro-dibenzo[b,d]furan-1-carboxamide
- 1 46. A compound according to claim 1, N-(3,5-dichloropyrid-4-yl)-4-difluoromethoxy-
- 2 8-amino-dibenzo[b,d]furan-1-carboxamide

- 1 47. A compound according to claim 1 selected from the group consisting of:
- N-(3, 5-dichloropyrid-4-yl)-4-methoxy dibenzo[b,d]furan-1-carboxamide;
- N-(3, 5-dichloropyrid-4-yl)-4-methoxy dibenzo[b,d]furan-1-carboxamide-N1-oxide;
- 4 N-(pyrid-4-yl)-4-methoxy dibenzo[b,d]furan-1-carboxamide;
- 5 N-(pyrid-4-yl)-4-methoxy dibenzo[b,d]furan-1-carboxamide-N1-oxide;
- 6 N-(2-chloropyrid-3-yl)-4-methoxy dibenzo[b,d]furan-1-carboxamide;
- 7 N-(4-fluorophenyl)-4-methoxy dibenzo[b,d]furan-1-carboxamide;
- 8 N-(pyrid-3-yl)-4-methoxy dibenzo[b,d]furan-1-carboxamide;
- 9 N-(pyrid-3-yl)-4-methoxy dibenzo[b,d]furan-1-carboxamide-N1-oxide;
- N-(3, 5-dichloropyrid-4-yl)-4-methoxy-8-trifluoromethyl dibenzo[b,d]furan-1-
- 11 carboxamide;
- N-(3, 5-dichloropyrid-4-yl)-4-methoxy-8-trifluoromethyl dibenzo[b,d]furan-1-
- 13 carboxamide-N1-oxide;
- N-(pyrid-4-yl)-4-methoxy-8-trifluoromethyl dibenzo[b,d]furan-1-carboxamide;
- 15 N-(3, 5-dichloropyrid-4-yl)-4-difluoromethoxy-8-trifluoromethyl dibenzo[b,d]furan-
- 16 1-carboxamide;
- N-(3, 5-dichloropyrid-4-yl)-4-difluoromethoxy-8-trifluoromethyl dibenzo[b,d]furan-
- 18 1-carboxamide-N1-oxide;
- 19 N-(pyrid-4-yl)-4-difluoromethoxy-8-trifluoromethyl dibenzo[b,d]furan-1-
- 20 carboxamide;

- 21 N-(pyrid-4-yl)-4-difluoromethoxy-8-trifluoromethyl dibenzolb.dlfuran-1-
- 22 carboxamide-N1-oxide;
- N-(pyrid-3-yl)-4-difluoromethoxy-8-trifluoromethyl dibenzo[b,d]furan-1-
- 24 carboxamide;
- N-(pyrid-3-yl)-4-difluoromethoxy-8-trifluoromethyl dibenzo[b,d]furan-1-
- 26 carboxamide-N1-oxide;
- N-(pyrid-2-yl)-4-difluoromethoxy-8-trifluoromethyl dibenzo[b,d]furan-1-
- 28 carboxamide;
- 29. N-(3, 5-dichloropyrid-4-yl)-4-difluoromethoxy dibenzo[b,d]furan-1-carboxamide; and
- N-(pyrid-4-yl)-4-difluoromethoxy dibenzo[b,d]furan-1-carboxamide.

- 1 48. A compound according to claim 1 selected from the group consisting of:
- N-(pyrid-4-yl)-4-difluoromethoxy dibenzo[b,d]furan-1-carboxamide-N1-oxide;
- N-(pyrid-3-yl)-4-difluoromethoxy dibenzo[b,d]furan-1-carboxamide;
- 4 N-(pyrid-3-yl)-4-difluoromethoxy dibenzo[b,d]furan-1-carboxamide-N1-oxide;
- 5 N-(5-chloropyrid-2-yl)-4-difluoromethoxy dibenzo[b,d]furan-1-carboxamide;
- 6 N-(3, 5-dichloropyrid-4-yl)-4-cyclopropylmethoxy dibenzo[b,d]furan-1-carboxamide;
- N-(3, 5-dichloropyrid-4-yl)-4-cyclopropylmethoxy dibenzo[b,d]furan-1-carboxamide-
- 8 N1-oxide;
- 9 N-(pyrid-4-yl)-4-cyclopropylmethoxy dibenzo[b,d]furan-1-carboxamide;
- 10 N-(pyrid-4-yl)-4-cyclopropylmethoxy dibenzo[b,d]furan-1-carboxamide-N1-oxide;
- 11 N-(pyrid-3-yl)-4-cyclopropylmethoxy dibenzo[b,d]furan-1-carboxamide;
- 12 N-(pyrid-3-yl)-4-cyclopropylmethoxy dibenzo[b,d]furan-1-carboxamide-N1-oxide;
- N-(3, 5-dichloropyrid-4-yl)-4-isopropyloxy dibenzo[b,d]furan-1-carboxamide;
- N-(3, 5-dichloropyrid-4-yl)-4-isopropyloxy dibenzo[b,d]furan-1-carboxamide-N1-
- 15 oxide;
- 16 N-(pyrid-4-yl)-4-isopropyloxy dibenzo[b,d]furan-1-carboxamide;
- 17 N-(pyrid-4-yl)-4-isopropyloxy dibenzo[b,d]furan-1-carboxamide-N1-oxide;
- N-(pyrid-3-yl)-4-isopropyloxy dibenzo[b,d]furan-1-carboxamide;
- 19 N-(pyrid-3-yl)-4-isopropyloxy dibenzo[b,d]furan-1-carboxamide-N1-oxide;
- N-(3, 5-dichloropyrid-4-yl)-4-benzyloxy dibenzo[b,d]furan-1-carboxamide;
- 21 N-(3,5-dichloropyrid-4-yl)-4-methoxy-8-nitro dibenzo[b,d]furan-1-carboxamide
- 22 N-(pyrid-4-yl)-4-methoxy-8-nitro dibenzo[b,d]furan-1-carboxamide; and

23	N-(pyrid-3-yl)-4-methoxy-8-nitro dibenzo[b,d]furan-1-carboxamide.
24	
1	49. A compound according to claim 1 selected from the group consisting of:
2	N-(3,5-dichloropyrid-4-yl)-4-methoxy-8-chloro-dibenzo[b,d]furan-1-carboxamide;
3	N-(3,5-dichloropyrid-4-yl)-4-methoxy-8-bromo-dibenzo[b,d]furan-1-carboxamide;
4	N-(pyrid-4-yl)-4-methoxy-8-bromo-dibenzo[b,d]furan-1-carboxamide;
5	N-(pyrid-3-yl)-4-methoxy-8-bromo-dibenzo[b,d]furan-1-carboxamide;
6	N-(3,5-dichloropyrid-4-yl)-4-methoxy-8-iodo-dibenzo[b,d]furan-1-carboxamide;
7	N-(pyrid-4-yl)-4-methoxy-8-iodo-dibenzo[b,d]furan-1-carboxamide;
8	N-(pyrid-3-yl)-4-methoxy-8-iodo-dibenzo[b,d]furan-1-carboxamide;
9	N-(4-methylpyrimid-2-yl)-4-methoxy dibenzo[b,d]furan-1-carboxamide;
10	N-(2,5-dichlorophenyl)-4-methoxy dibenzo[b,d]furan-1-carboxamide;
.11	N-(3, 5-dichloropyrid-4-yl)-4-ethoxycarbomethoxy dibenzo[b,d]furan-1-
12	carboxamide;
13	N-(3, 5-dichloropyrid-4-yl)-4-hydroxycarbomethoxydibenzo[b,d]furan-1-
14	carboxamide;
15	N-(3, 5-dichloropyrid-4-yl)-4-methoxy dibenzo[b,d]furan-2-carboxamide;
16	N-(3, 5-dichloropyrid-4-yl)-4-methoxy dibenzo[b,d]furan-3-carboxamide;
17	N4-(4-methoxy dibenzo[b,d]furan-1-yl) isonicotinamide;
18	N-(3, 5-dichloropyrid-4-yl)-4-methoxy dibenzo[b,d]furan-1-sulfonamide;
19	N-(3,5-dichloropyrid-4-yl)-4-methoxy-8-amino-dibenzo[b,d]furan-1-carboxamide;
20	N-(3,5-dichloropyrid-4-yl)-4-difluoromethoxy-dibenzo[b,d]furan-1-carboxamide-N
21	oxide;
22	N-(3,5-dichloropyrid-4-yl)-4-methoxy-8-cyano-dibenzo[b,d]furan-1-carboxamide;
23	N-(3,5-dichloropyrid-4-yl)-4-difluoromethoxy-8-nitro-dibenzo[b,d]furan-1-
24	carboxamide;
25	N-(3,5-dichloropyrid-4-yl)-4-difluoromethoxy-8-amino-dibenzo[b,d]furan-1-
26	carboxamide;
27	3,5-Dichloro-4-(4-ethoxydibenzo[b,d]furan-1-ylcarboxamido)pyridine; and

N1-Benzyl-4-cyclopentyloxydibenzo[b,d]furan-1-carboxamide.

28

- 1 50. A compound according to claim 1 selected from the group consisting of:
- 2 4-(4-Cyclopentyloxydibenzo[b,d]furan-1-ylcarboxamido)pyridine;
- 3,5-Dichloro-4-(4-cyclopentyloxydibenzo[b,d]furan-1-ylcarboxamido)pyridine;
- 4 4-(4-Methylsulfanyldibenzo[b,d]furan-1-ylcarboxamido)pyridine;
- 5 N3-(4-Methoxydibenzo[b,d] furan-1-yl)nicotinamide;
- 6 N1-Benzyl-4-methoxydibenzo[b,d]furan-1-sulfonamide;
- 7 4-(4-Methoxydibenzo[b,d]furan-1-ylsulfonamido)pyridine;
- 8 3,5-Dichloro-4-(4-ethoxydibenzo[b,d]furan-1-ylcarboxamido)pyridine-N-oxide;
- 9 3,5-Dichloro-4-(4-cyclopentyloxydibenzo[b,d]furan-1-ylcarboxamido)pyridine-N-
- 10 oxide;
- N-Formyl-1-methoxy-4-[4-methoxyphenylaminosulphonyl]-9H-carbazole;
- 12 1-methoxy-4-[4-methoxyphenylaminosulphonyl]-9H-carbazole.;
- N-Formyl-1-methoxy-4-[4-methylphenylaminosulphonyl]-9H-carbazole;
- 14 1-methoxy-4-[4-methylphenylaminosulphonyl]-9H-carbazole;
- 15 1-methoxy-4-[4-methylphenylaminosulphonyl-N'-methyl]-9H-carbazole;
- 16 1-methoxy-4-[4-methylphenylaminosulphonyl-N'-methyl]-9methyl carbazole;
- 17 1-methoxy-4-[4-pyridinylaminosulphonyl]-9H-carbazole;
- 18 N4-(2,6-Dichlorophenyl)-1-methoxy-9H-4-carbazolsulphonamide;
- 19 N4-(2,6-Dichlorophenyl)-9-formyl-1-methoxy-9*H*-4-carbazolsulphonamide;
- 20 N4-(4-pyridyl)-1-methoxy-9H-4-carbazole carboxamide;
- 21 N4-(3,5-dichloro-4-pridyl)-1-methoxy-9H-4-carbazole carboxamide; and
- 22 N4-(3, 5-dichloro-4-pyridyl) -6-chloro-1-methoxy-9H-4-carbazole carboxamide.
- 1 51. A compound according to claim 1 selected from the group consisting of:
- 2 N4-(3, 5-dichloro-4-pyridyl) -9-benzyl -6-chloro-1-methoxy-9H-4-carbazole
- 3 carboxamide;

- 4 N4-(3, 5-dichloro-4-pyridyl) -6-chloro-9-cyclohexylmethyl -1-methoxy-9H-4-
- 5 carbazole carboxamide;
- 6 N4-(3, 5-dichloro-4-pyridyl) -6-chloro-9-(4-fluorobenzyl)-1-methoxy-9H-4-carbazole
- 7 carboxamide;
- 8 N4-(3, 5-dichloro-4-pyridyl) -6-chloro-9-(4-methoxybenzyl)-1-methoxy-9H-4-
- 9 carbazolecarboxamide;
- 10 N4-(3, 5-dichloro-4-pyridyl)-9-(4-fluorobenzyl)-1-methoxy-9H- 4-carbazole
- 11 carboxamide;

- 12 N4-(4-pyridyl)-9-(4-fluorobenzyl)-1-methoxy -9H-4-carbazole carboxamide;
- 13 N4-(3, 5-dichloro-4-pyridyl)-9-benzyl-1-methoxy-9H-4-carbazolecarboxamide;
- N4-(3, 5-dichloro-4-pyridyl)-9-benzyl-1-ethoxy-9H-4-carbazolecarboxamide;
- N4-(3, 5-dichloro-4-pyridyl)-9-benzyl-6-chloro-1-ethoxy-9H-4-
- 16 carbazolecarboxamide;
- 17 N4-(4-pyridyl)-9-benzyl-1-ethoxy-9H-4-carbazolecarboxamide;
- 18 N4-(3-pyridyl)-6-chloro-9-(4-fluorobenzyl)-1-methoxy-9H-4-carbazolecarboxamide;
- 19 N4-(4-pyridyl)-6-chloro-9-(4-fluorobenzyl)-1-methoxy-9H-4-carbazolecarboxamide;
- 20 N4-(3, 5-dichloro-4-pyridyl) 8-chloro-9-cyclohexylmethyl-1-methoxy-9H-4-
- 21 carbazole carboxamide;
- 22 N4-(3, 5-dichloro-4-pyridyl)- 8-chloro-9-(4-Fluorobenzyl)-1-methoxy-9H-4-
- 23 carbazole carboxamide;
- N4-(3, 5-dichloro-4-pyridyl)-6-chloro-1-methoxy-9-methyl-9H-4-carbazole
- 25 carboxamide;
- 26 N4-(3,5-dichloro-4-pyridyl-N-oxide)-6-chloro-9-(4-fluorobenzyl)-1-methoxy-9H-4-
- 27 carbazolecarboxamide;
- 28 N4-(3,5-dichloro-4-pyridyl-N-oxide)-6-chloro-9-(4-methoxybenzyl)-1-methoxy-9H-
- 29 4-carbazolecarboxamide;
- 30 N4-(3,5-dichloro-4-pyridyl-N-oxide)-6-chloro-9-cyclohexylmethyl-1-methoxy-9H-4-
- 31 carbazolecarboxamide;
- 32 N4-(3, 5-dichloro-4-pyridyl)-9-methyl-1-methoxy-9H-4-carbazolecarboxamide; and
- 33 3,5-Dichloro-4-(4-methoxydibenzo[b,d]-thiophen-1-ylcarboxamido)pyridine.

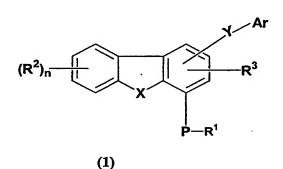
- 1 52. A compound according to claim 1 selected from the group consisting of:
- 2 3,5-dichloro-4-(4-cyclopentyloxydibenzo[b,d]-thiophen-1-ylcarboxamido)pyridine;
- 3 N1 (4-methoxyphenyl)-4-methoxydibenzo[b,d]thiophene-1-carboxamide;
- 4 N1-(4-methoxyphenyl)-4-methoxydibenzo[b,d]thiophene-1-carboxamide-5,5-dioxide;
- 5 N1-(4-chlorophenyl)-4-methoxydibenzo[b,d]thiophene-1-carboxamide;
- 6 4-(4-methoxydibenzo[b, d]thiophene-1-ylcarboxamido)pyridine;
- 7 4-(4-cylopentyloxydibezo[b,d]thiophene-1-ylcarboxamido)pyridine;
- 8 3,5-dichloro-4-(4-cyclopentyloxydibenzo[b,d]-thiophen-5,5-dioxide-1-
- '9 vlcarboxamido)pyridine-N-oxide;
- 10 3,5-dichloro-4-(4-methoxydibenzo[b,d]-thiophen-5,5-dioxide-1-ylcarboxamido)
- 11 pyridine-N-oxide;

- 12 3,5 Dichloro-4-(4-methoxydibenzo[b,d]-thiophen-5,5-dioxide-1-ylcarboxamido)
- 13 pyridine;
- 3,5 Dichloro-4-(4-difluoromethoxydibenzo[b,d]-thiophen-1-ylcarboxamido) pyridine;
- N1-(4-methoxyphenyl)-4-methoxydibenzo[b,d]thiophene-1-sulfonamide;
- 2-(4-Methoxydibenzo[b,d] thiophen-1-ylcarboxamido)-pyridine;
- 4-(4-Ethoxydibenzo[b,d] thiophen-1-ylcarboxamido)-pyridine;
- N1-(4-methoxyphenyl)-N8, 8-dimethyl-4-methoxydibenzo[b,d] thiophen-8,1-
- 19 disulfonamide;
- 20 3-(4-Methoxydibenzo[b,d] thiophen-1-ylcarboxamido)-pyridine;
- 21 3,5-Dichloro-4-(6-ethyl-4-methoxydibenzo[b,d]-thiophen-1- ylcarboxamido)pyridine;
- 3,5,dichloro-4-(4-ethoxy-dibenzo[b, d]thiophen-1-yl-carboxamido)pyridine;
- 23 3-(4-Methoxydibenzo[b,d] thiophene-5,5-dioxide-1-ylcarboxamido)-pyridine;
- 24 3,5-Dichloro-4-(4-benzyloxydibenzo[b,d]-thiophen-1- ylcarboxamido)pyridine; and
- N-(3,5-dichloropyrid-4-yl)-4-difluoromethoxy-8-(pyrrolidine-2-one-1-yl)-
- dibenzo[b,d]furan-1-carboxamide.

27

53. A method for the preparation of compounds of general formula (1)

1 2



4

5 6

7

8

9

10

11

12

3

R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> may be same or different and are independently selected from the groups consisting of hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heterocyclic group, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted or unsubstituted or unsubstituted or uns

acetyl, halogen,-OR<sup>1</sup>, -SR<sup>1</sup>, protecting groups or when two R<sup>2</sup> substitutents ortho to each

14 other, may be joined to a form a saturated or unsaturated cyclic ring, which may

- optionally include up to two heteroatoms selected from O, NR<sup>1</sup> or S;
- 16 wherein P represents oxygen or sulfur;
- 17 wherein n represents 0-4;
- 18 Ar is substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted
- 19 or unsubstituted heterocyclic ring or substituted or unsubstituted heteroaryl ring;
- 20 X is oxygen, S(O)<sub>m</sub> or NR<sup>5</sup>;
- 21 R<sup>5</sup> represents hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted
- 22 alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl,
- 23 substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl,
- 24 substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or
- 25 unsubstituted heteroaryl, substituted or unsubstituted heterocyclic group, substituted or
- 26 unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -
- 27 C(O)O-R<sup>1</sup>, -C(O)NR<sup>1</sup>R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl,
- 28 acetyl, halogen, -OR<sup>2</sup>, -SR<sup>2</sup> and protecting groups
- 29 m is 0, 1 or 2;
- 30 Y is  $-C(O)NR^4$ ;

31

- 32 R<sup>4</sup> is hydrogen, substituted or unsubstituted alkyl, hydroxyl, -OR<sup>1</sup>, -COOR<sup>1</sup>, substituted
- 33 or unsubstituted aryl, substituted or unsubstituted heterocyclic ring;
- 34 comprising the steps of:

35

36 (a) reacting the compound of general formula (10)

$$(R^2)_n$$
  $R^3$   $R^3$ 

- 38 when FG is methyl then the methyl group is oxidized using manganese or chromium
- 39 reagents to the carboxylic acid group; if FG is cyano group then the cyano group is
- 40 hydrolysed to the carboxylic acid; if FG is bromine then it is transformed to carboxylic
- 41 acid reaction with lithium followed by treatment with carbon dioxide) to get general
- 42 formula (11)

$$(R^2)_n$$
  $X$   $PR^1$ 

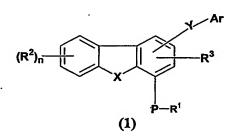
(where R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and P have the meanings described above; FG represents substituted or unsubstituted alkyl, formyl, cyano, halogen, nitro, amino)

 (b) reacting the compound of formula (11) with an amine of the formula ArNHR<sup>4</sup> to get a compound of formula (1)

$$(R^2)_n$$
  $R^3$   $(R^3)_n$   $(R^3)_n$   $(R^3)_n$   $(R^3)_n$ 

optionally converting the compound of formula (1) into its corresponding Noxides by the action of a peracid.

54. A method for the preparation of compounds of general formula (1)



, **3** 

R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> may be same or different and are independently selected from the group consisting of hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted arylakyl, substituted or unsubstituted arylakyl, substituted or unsubstituted heterocyclic group, substituted or unsubstituted heterocyclylakyl, substituted or unsubstituted or unsubstituted heterocyclylakyl, substituted or unsubstituted or unsubstituted or unsubstituted or unsubstituted or

- 12 C(O)O-R<sup>1</sup>, -C(O)NR<sup>1</sup>R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl,
- acetyl, halogen, -OR<sup>1</sup>, -SR<sup>1</sup>, protecting groups or when two R<sup>2</sup> substitutents ortho to each
- 14 other, may be joined to a form a saturated or unsaturated cyclic ring, which may
- optionally include up to two heteroatoms selected from O, NR<sup>1</sup> or S;
- 16 wherein P represents oxygen or sulfur;
- 17 wherein n represents 0-4;
- 18 Ar is substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted
- 19 or unsubstituted heterocyclic ring or substituted or unsubstituted heteroaryl ring;
- 20 X is oxygen, S(O)<sub>m</sub> or NR<sup>5</sup>
- 21 R<sup>5</sup> represents hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted
- 22 alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl,
- 23 substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl,
- 24 substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or
- 25 unsubstituted heteroaryl, substituted or unsubstituted heterocyclic group, substituted or
- unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -
- 27 C(O)O-R<sup>1</sup>, -C(O)NR<sup>1</sup>R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl,
- 28 acetyl, halogen, -OR<sup>2</sup>, -SR<sup>2</sup> and protecting groups
- 29 m is 0, 1 or 2;

33

37

39

40

- 30 Y is  $-C(O)NR^4$ ;
- 31 R<sup>4</sup> is hydrogen, substituted or unsubstituted alkyl, hydroxyl, -OR<sup>1</sup>, -ÇOOR<sup>1</sup>, substituted
- 32 or unsubstituted aryl, substituted or unsubstituted heterocyclic ring;

34 comprising the steps of

reacting the compound of general formula (12) where Z is a halogen and R<sup>2</sup> have the meaning described above

$$(R^2)_n$$

with a substituted or unsubstituted aromatic group of the formula (13)

41 wherein FG is selected from the group consisting of alkyl, formyl, cyano, halogen, nitro,

42 amino, and carboxylic acid group; under basic conditions to get the intermediate of

43 formula (14)

$$(R^2)_n$$
 $NO_2$ 
 $FG$ 
 $X$ 
 $R^3$ 
 $14$ 
 $PR^1$ 

46 (b) reducing the compound of general formula (14) to obtain the compound of general formula (15)

$$(R^2)_n$$
 $NH_2$ 
 $X$ 
 $FG$ 
 $R^3$ 
 $15$ 
 $PR^1$ 

50 (c) cyclizing of the intermediate of general formula (15) can be cylized to tricyclic
51 compounds of general formula (10) by using standard diazotization method using
52 NaNO<sub>2</sub>/HCl followed by coupling using cuprous oxide in 0.1N sulfuric acid or
53 copper in DMSO.

$$(R^2)_n$$
  $\xrightarrow{FG}$   $R^3$ 

 (d) converting the compound of general formula (10) to general formula (11) when FG is methyl then the methyl group is oxidized using manganese or chromium reagents if FG is cyano group then the cyano group is hydrolysed to the carboxylic acid; if FG is bromine then it is transformed to carboxylic acid via reaction with lithium metal followed by treatment with carbon dioxide. with the proviso that FG is not carboxylic acid

$$(R^2)_n$$
  $R^3$ 

62 the symbols R1, R2, R3, P and P have the meanings described above.

64 (e) reacting the compound of the formula (11) with an amine of the formula ArNHR<sup>4</sup>
65 to yield the compound of formula 1

66

$$(R^2)_n$$
  $(R^3)_n$   $(R^3$ 

67 68

(f) optionally converting the compounds of formula (1) to the corresponding N-oxides by the action of a peracid.

69 70 1

55. A method for the preparation of compounds of general formula (1)

2

$$(R^2)_n$$
 $R^3$ 
 $P-R^1$ 

3

R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> may be same or different and are independently selected from the groups consisting of hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl,

- 8 substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl,
- 9 substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or
- 10 unsubstituted heteroaryl, substituted or unsubstituted heterocyclic group, substituted or
- unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -
- 12 C(O)O-R<sup>1</sup>, -C(O)NR<sup>1</sup>R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl,
- acetyl, halogen,-OR<sup>1</sup>, -SR<sup>1</sup>, protecting groups or when two R<sup>2</sup> substitutents ortho to each
- 14 other, may be joined to a form a saturated or unsaturated cyclic ring, which may
- optionally include up to two heteroatoms selected from O, NR<sup>1</sup> or S;
- 16 wherein P represents oxygen or sulfur;
- 17 wherein n represents 0-4;
- 18 Ar is substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted
- or unsubstituted heterocyclic ring or substituted or unsubstituted heteroaryl ring;
- 20 X is oxygen, S(O)<sub>m</sub> or NR<sup>5</sup>;
- 21 R<sup>5</sup> represents hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted
- 22 alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl,

23 substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl,

- 24 substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or
- 25 unsubstituted heteroaryl, substituted or unsubstituted heterocyclic group, substituted or
- 26 unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -
- C(O)O-R<sup>1</sup>, -C(O)NR<sup>1</sup>R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl, 27
- acetyl, halogen, -OR2, -SR2 and protecting groups 28
- 29 m is 0, 1 or 2;
- Y is  $-C(O)NR^4$ ; 30
- R<sup>4</sup> is hydrogen, substituted or unsubstituted alkyl, hydroxyl, -OR<sup>1</sup>, -COOR<sup>1</sup>, substituted 31
- or unsubstituted aryl, substituted or unsubstituted heterocyclic ring; 32

33 34

comprising the steps of

35 (a) reacting the compound of general formulas (16) and (17)

36

37

$$(R^{2})_{n} \xrightarrow{A}_{B_{1}}$$

$$16$$

$$Z \xrightarrow{FG}_{R^{3}}$$

$$GX \xrightarrow{PR^{1}}$$

38

39

40 where A is halogen, -OMs or -OTs (Ms= methanesulfonyl group; Ts= p-toluenesulfonyl

- 41 group) or -B(OH)<sub>2</sub>; B<sub>1</sub> is halogen, G is a protecting group seleted from the group
- 42 consisting of benzyloxy carbonyl, t-butyloxycarbonyl, isopropyl, cyclopentyl, allyl, acetyl
- and benzyl, FG is selected from the group consisting of alkyl, formyl, cyano, halogen, 43
- nitro, amino, and carboxylic acid group and Z is halogen and R<sup>2</sup> have the meaning 44
- 45 described above
- 46 to yield the compounds of general formula (18)

$$(R^2)_n$$
  $FG$   $R^3$   $R$ 

48 (b) Deprotecting intermediate (18) to intermediate of general formula (19)

50 (c) cyclizing the intermediate of general formula (19)

49

52

54

55

56

57

58

62

63

66

51 to tricyclic compounds of general formula (10) in the presence of basic condtions

$$(R^2)_n$$
 $X$ 
 $PR^1$ 

53 (d) converting of the compound of general formula (10) to general formula (11)

where if FG is methyl the methyl group is oxidized using manganese or

chromium reagents; if FG is cyano group then the cyano group is hydrolysed; if

FG is bromine it is reacted with lithium metal followed by treatment with carbon

dioxide), with the proviso that FG is not carboxylic acid

59 the symbols R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, P and P have the meanings described above

60 (e) reacting the novel compound of the formula (11) with an amine of the formula

61 ArNHR<sup>4</sup> to yield the compounds of formula 1

$$(R^2)_n$$
 $(R^3)_n$ 
 $(R^3)_n$ 
 $(R^3)_n$ 
 $(R^3)_n$ 
 $(R^3)_n$ 

64 (f) optionally converting the compounds of formula 1 are then converted into the

65 corresponding N-oxides by the action of a peracid.

56. A method for the preparation of compounds of general formula (1)

$$(R^2)_n \xrightarrow{||} R^3$$

$$P = R^1$$

$$(1)$$

2 3 4

5

6

7 8

9

10

11

12

13

14

15

1

R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> may be same or different and are independently selected from the groups consisting of hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heterocyclic group, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted heterocyclylalkyl, -C(O)-R<sup>1</sup>, -C(O)-R<sup>1</sup>, -C(O)-R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl, acetyl, halogen,-OR<sup>1</sup>, -SR<sup>1</sup>, protecting groups or when two R<sup>2</sup> substitutents ortho to each other, may be joined to a form a saturated or unsaturated cyclic ring, which may optionally include up to two heteroatoms selected from O, NR<sup>1</sup> or S;

- 16 wherein P represents oxygen or sulfur;
- 17 wherein n represents 0-4;
- 18 Ar is substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted
- 19 or unsubstituted heterocyclic ring or substituted or unsubstituted heteroaryl ring;
- 20 X is oxygen, S(O)<sub>m</sub> or NR<sup>5</sup>;
- 21 R<sup>5</sup> represents hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted
- 22 alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl,
- 23 substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl,
- 24 substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or
- 25 unsubstituted heteroaryl, substituted or unsubstituted heterocyclic group, substituted or
- 26 unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -
- 27  $C(O)O-R^1$ ,  $-C(O)NR^1R^1$ ,  $-S(O)_m-R^1$ ,  $-S(O)_m-NR^1R^1$ , nitro, -OH, cyano, amino, formyl,
- 28 acetyl, halogen, -OR<sup>2</sup>, -SR<sup>2</sup> and protecting groups
- 29 m is 0, 1 or 2;
- 30 Y is  $-C(O)NR^4$ ;

R<sup>4</sup> is hydrogen, substituted or unsubstituted alkyl, hydroxyl, -OR<sup>1</sup>, -COOR<sup>1</sup>, substituted or unsubstituted heterocyclic ring;

34 comprising the steps of

35 (a) reacting the compounds of general formulae (13) and (20) in the presence of basic

36 conditions

41 to yield the compounds of general formula (21)

$$\begin{array}{c|c}
 & & & FG \\
 & & & & & R^3 \\
 & & & & PR^1
\end{array}$$

wherein FG is selected from the group consisting of alkyl, formyl, cyano, halogen, nitro, amino, and carboxylic acid group;

45 (b) cyclizing the intermediate of general formula (21) in the presence of acidic conditions followed oxidation give tricyclic compounds of general formula (10)

$$(R^2)_n$$
  $X$   $PR^1$   $PR^1$ 

(c) converting the compound of general formula (10) is transformed to general formula (11) where if FG is methyl then the methyl group is oxidized using manganese or chromium reagents to the carboxylic acid group; if FG is cyano group then the cyano group is hydrolysed to the carboxylic acid; if FG is bromine then it could be transformed to carboxylic acid via reaction with lithium followed by treatment with carbon dioxide with the proviso that FG is not carboxylic acid

$$(R^2)_n$$
  $(R^2)_n$   $(R^3)_n$   $(R^3)_n$   $(R^3)_n$ 

55 the symbols R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, P and P have the meanings described above

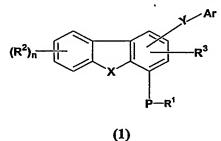
(d) reacting the novel compound of the formula (11) with an amine of the formula ArNHR<sup>4</sup> to yield the novel compounds of formula 1

$$(R^2)_n$$
  $R^3$   $R^3$ 

59 (1) ; and

60 (e) optionally converting the desired compounds of formula 1 into the corresponding N-oxides by the action of a peracid.

57. A method for the preparation of compounds of general formula (1)



 R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> may be same or different and are independently selected from the groups consisting of hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heterocyclic group, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted heterocyclylalkyl, -C(O)-R<sup>1</sup>, -C(O)O-R<sup>1</sup>, -C(O)NR<sup>1</sup>R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl, acetyl, halogen,-OR<sup>1</sup>, -SR<sup>1</sup>, protecting groups or when two R<sup>2</sup> substitutents ortho to each other, may be joined to a form a saturated or unsaturated cyclic ring, which may

optionally include up to two heteroatoms selected from O, NR<sup>1</sup> or S;

16 wherein P represents oxygen or sulfur;

- 17 wherein n represents 0-4;
- 18 Ar is substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted
- 19 or unsubstituted heterocyclic ring or substituted or unsubstituted heteroaryl ring;
- 20 X is oxygen, S(O)<sub>m</sub> or NR<sup>5</sup>;
- 21 R<sup>5</sup> represents hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted
- 22 alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl,
- 23 substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl,
- 24 substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or
- 25 unsubstituted heteroaryl, substituted or unsubstituted heterocyclic group, substituted or
- 26 unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -
- 27 C(O)O-R<sup>1</sup>, -C(O)NR<sup>1</sup>R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl,
- 28 acetyl, halogen, -OR<sup>2</sup>, -SR<sup>2</sup> and protecting groups
- 29 m is 0, 1 or 2;
- 30 Y is  $-C(O)NR^4$ ;
- 31 R<sup>4</sup> is hydrogen, substituted or unsubstituted alkyl, hydroxyl, -OR<sup>1</sup>, -COOR<sup>1</sup>, substituted
- 32 or unsubstituted aryl, substituted or unsubstituted heterocyclic ring;

33

- 34 comprising the steps of
- 35 (a) reacting the compound of general formulas (25) with an electrophile

36

37

- 38 wherein FG is selected from the group consisting of alkyl, formyl, cyano, halogen, nitro,
- 39 amino, and carboxylic acid group;
- 40 to get the compounds of general formula (10)

41

$$(R^2)_n$$
 $R^3$ 

42 43

44 (b) Converting the compound of general formula (10) is converted into general formula (11) when if FG is methyl then the methyl group is oxidized using

manganese or chromium reagents to the carboxylic acid group; if FG is cyano group then the cyano group is hydrolysed to the carboxylic acid; if FG is bromine then it is transformed to carboxylic acid via reaction with lithium metal followed by treatment with carbon dioxide

50 51

46

47

48

49

52 the symbols R<sup>1</sup>, R<sup>2</sup>, R3 and P have the meanings described above

reacting the novel compound of the formula (11) with an amine of the formula

ArNHR<sup>4</sup> to yield the compounds of formula 1

$$(R^2)_n$$
  $X$   $PR^1$   $X$   $PR^1$ 

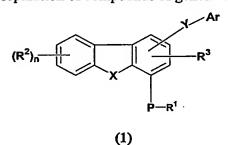
(1)

55

optionally converting the desired compounds of formula (1) are then converted into the corresponding N-oxides by the action of a peracid.

58 1

58. A method for the preparation of compounds of general formula (1)



2 3 4

> 7 8

9

10

R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> may be same or different and are independently selected from the groups consisting of hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted

alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl,

substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl,

substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or

unsubstituted heteroaryl, substituted or unsubstituted heterocyclic group, substituted or

unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -

12 C(O)O-R<sup>1</sup>, -C(O)NR<sup>1</sup>R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl,

- acetyl, halogen, OR<sup>1</sup>, -SR<sup>1</sup>, protecting groups or when two R<sup>2</sup> substitutents ortho to each
- 14 other, may be joined to a form a saturated or unsaturated cyclic ring, which may
- optionally include up to two heteroatoms selected from O, NR<sup>1</sup> or S;
- 16 wherein P represents oxygen or sulfur;
- 17 wherein n represents 0-4;
- 18 Ar is substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted
- 19 or unsubstituted heterocyclic ring or substituted or unsubstituted heteroaryl ring;
- 20 Preferably Ar is optionally substituted phenyl, optionally substituted benzyl, optionally
- 21 substituted pyramidine, optionally substituted pyridyl selected from 4-pyridyl, 3-pyridyl
- and 2-pyridyl or optionally substituted pyridyl-N-oxide selected from 4-pyridyl-N-Oxide,
- 23 3-pyridyl-N-Oxide and 2-pyridyl-N-Oxide in which optional substituents (one or more)
- 24 may be same or different and are independently selected from the groups consisting of
- 25 hydrogen, hydroxyl, halogen, cyano, nitro, carboxyl, trifluoroalkyl, substituted or
- 26 unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted
- 27 alkoxycarbonyl, substituted or unsubstituted alkylcarbonyl, substituted or unsubstituted
- 28 alkylcarbonyloxy, substituted or unsubstituted amino or mono or di substituted or
- 29 unsubstituted alkylamino
- 30 X is oxygen, S(O)<sub>m</sub> or NR<sup>5</sup>;
- 31 R<sup>5</sup> represents hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted
- 32 alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl,
- 33 substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl,
- 34 substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or
- 35 unsubstituted heteroaryl, substituted or unsubstituted heterocyclic group, substituted or
- unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -
- 37 C(O)O-R<sup>1</sup>, -C(O)NR<sup>1</sup>R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl,
- 38 acetyl, halogen, -OR<sup>2</sup>, -SR<sup>2</sup> and protecting groups
- 39 m is 0, 1 or 2;
- 40 Y is  $-C(0)NR^4$ ;
- 41 R<sup>4</sup> is hydrogen, substituted or unsubstituted alkyl, hydroxyl, -OR<sup>1</sup>, -COOR<sup>1</sup>, substituted
- 42 or unsubstituted aryl, substituted or unsubstituted heterocyclic ring; comprising the steps
- 43 of

PCT/IB2003/004442 WO 2004/037805

44 (a) reacting the compounds of general formulae (13.a) and (23) in the presence of 45 basic conditions

Hal 
$$\mathbb{R}^{3}$$
  $\mathbb{R}^{3}$   $\mathbb{R}^{3}$   $\mathbb{R}^{3}$   $\mathbb{R}^{4}$   $\mathbb{R}^{3}$   $\mathbb{R}^$ 

48 wherein P, X, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have the meanings described above and wherein Z is a 49

halogen, FG is alkyl, formyl, cyano, halogen, nitro, amino, and carboxylic acid group; 50

51 Hal is halogen to yield the compounds of general formula (24)

52 (R<sup>2</sup>)<sub>n</sub> Hal X R<sup>3</sup>
24 PR<sup>1</sup>

54 where all the symbols defined above;

cyclizing the intermediate of general formula (24) to tricyclic compounds of (b) general formula (10) in the presence of palladium catalyzed coupling conditions

$$(R^2)_n$$
  $R^3$   $R^3$ 

57 58

59 60

61

62

63

53

55

56

converting the compound of general formula (10) can be transformed to general (c) formula (11) where if FG is methyl then the methyl group is oxidized using manganese or chromium reagents to the carboxylic acid group; if FG is cyano group then the cyano group is hydrolysed to the carboxylic acid; if FG is bromine then it is transformed to carboxylic acid via reaction with lithium followed by treatment with carbon dioxide with the proviso that FG is not carboxylic acid

$$(R^2)_n$$
 COOH  
 $X$   $PR^1$ 

64 65

the symbols R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, P and P have the meanings described above

66 (d) reacting the compound of the formula (11) with an appropriate amine of the 67 formula ArNHR<sup>4</sup> to get the novel compounds of formula 1

68

$$(R^2)_n$$
  $R^3$   $R^4$   $R^3$ 

**(1)** 

69 70

(e) optionally the compounds of formula 1 are then converted into the corresponding N-oxides by the action of a peracid.

71 72

1 59. A method for the preparation of compounds of general formula (1)

2

$$(R^2)_n$$
 $R^3$ 
 $P-R^1$ 

- 5  $Y \text{ is } -C(O)NR^4$
- 6 R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> may be same or different and are independently selected from the groups
- 7 consisting of hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted
- 8 alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl,
- 9 substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl,
- 10 substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or
- unsubstituted heteroaryl, substituted or unsubstituted heterocyclic group, substituted or
- 12 unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -
- 13 C(O)O-R<sup>1</sup>, -C(O)NR<sup>1</sup>R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl,
- acetyl, halogen,-OR<sup>1</sup>, -SR<sup>1</sup>, protecting groups or when two R<sup>2</sup> substitutents ortho to each
- 15 other, may be joined to a form a saturated or unsaturated cyclic ring, which may
- optionally include up to two heteroatoms selected from O, NR<sup>1</sup> or S;
- wherein P represents oxygen or sulfur;
- 18 wherein n represents 0-4;
- 19 Ar is substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted
- 20 or unsubstituted heterocyclic ring or substituted or unsubstituted heteroaryl ring;

- 21 X is oxygen, S(O)<sub>m</sub> or NR<sup>5</sup>;
- 22 R<sup>5</sup> represents hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted
- 23 alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl,
- 24 substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl,
- 25 substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or
- 26 unsubstituted heteroaryl, substituted or unsubstituted heterocyclic group, substituted or
- 27 unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -
- 28 C(O)O-R<sup>1</sup>, -C(O)NR<sup>1</sup>R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl,
- 29 acetyl, halogen, -OR<sup>2</sup>, -SR<sup>2</sup> and protecting groups
- 30 m is 0, 1 or 2;
- 31 Y is  $-C(O)NR^4$ ;
- 32 R<sup>4</sup> is hydrogen, substituted or unsubstituted alkyl, hydroxyl, -OR<sup>1</sup>, -COOR<sup>1</sup>, substituted
- or unsubstituted aryl, substituted or unsubstituted heterocyclic ring;
- 34 comprising the steps of

35

36 (a) Formylation of the compound of general formula (26)

37

$$(R^2)_n$$
  $R^3$ 

38

39 by formylation oxidation of the aldehyde group of the formula (27)

40

41 42

43 to give carboxylic acid group of general formula (11)

44

$$(R^2)_n$$
  $X$   $PR^1$ 

45

PCT/IB2003/004442 WO 2004/037805

47 (b) reacting the novel compound of the formula (11) with an amine of the formula ArNHR<sup>4</sup> to get the compounds of formula (1) 48

49

$$(R^2)_n$$
  $X$   $PR^1$   $(1)$ 

50 51

(c) optionally converting the compounds of formula 1 into the corresponding Noxides by the action of a peracid.

53

52

1 60. A process for the preparation of compounds of general formula (1)

2

$$(R^2)_n \xrightarrow{[1]{}} R^3$$

$$(1)$$

5 6

7

8

9

10

11 12

13

14

15

16

- $R^{1}$ ,  $R^{2}$  and  $R^{3}$  may be same or different and are independently selected from the groups consisting of hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heterocyclic group, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, - $C(O)O-R^1$ ,  $-C(O)NR^1R^1$ ,  $-S(O)_m-R^1$ ,  $-S(O)_m-NR^1R^1$ , nitro, -OH, cyano, amino, formyl, acetyl, halogen,-OR<sup>1</sup>, -SR<sup>1</sup>, protecting groups or when two R<sup>2</sup> substitutents ortho to each other, may be joined to a form a saturated or unsaturated cyclic ring, which may optionally include up to two heteroatoms selected from O, NR<sup>1</sup> or S; wherein P represents oxygen or sulfur;
- 17
- 18 wherein n represents 0-4;
- 19 Ar is substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted
- 20 or unsubstituted heterocyclic ring or substituted or unsubstituted heteroaryl ring;

- 21 X is oxygen, S(O)<sub>m</sub> or NR<sup>5</sup>;
- 22 R<sup>5</sup> represents hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted
- 23 alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl,
- 24 substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl,
- 25 substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or
- 26 unsubstituted heteroaryl, substituted or unsubstituted heterocyclic group, substituted or
- 27 unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -
- 28 C(O)O-R<sup>1</sup>, -C(O)NR<sup>1</sup>R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl,
- 29 acetyl, halogen, -OR<sup>2</sup>, -SR<sup>2</sup> and protecting groups
- 30 m is 0, 1 or 2;
- 31 Y is  $-SO_2NR^4$ ;
- 32 R<sup>4</sup> is hydrogen, substituted or unsubstituted alkyl, hydroxyl, -OR<sup>1</sup>, -COOR<sup>1</sup>, substituted
- or unsubstituted aryl, substituted or unsubstituted heterocyclic ring;
- 34 comprising the steps of
- 35 (a) chlorosulfonylation of the compound of general formula (26)

$$(R^2)_n \xrightarrow{X} R^3$$

$$26$$

36

- 37 where the symbols are defined in the above
- with chlorosulfonic acid to get general formula (28)

39

- 40 (b) reacting the compound of general formula (28) with an amine of the formula
- 41 ArNHR<sup>4</sup> to get the novel compounds of formula 1

42

$$(R^2)_n$$
  $SO_2NR^4Ar$   $R^3$   $PR^1$ 

optionally the compounds of formula 1 are converted into the corresponding Noxides by the action of a peracid.

46 1

61. A method for the preparation of compounds of general formula (1)

2

3 4

$$(R^2)_n \qquad \qquad \qquad R^3$$

$$(1)$$

5 wherein:

R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> may be same or different and are independently selected from the groups consisting of hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted cycloalkyl,

9 substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl,

10 substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or

11 unsubstituted heteroaryl, substituted or unsubstituted heterocyclic group, substituted or

unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -

13 C(O)O-R<sup>1</sup>, -C(O)NR<sup>1</sup>R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl,

acetyl, halogen, -OR<sup>1</sup>, -SR<sup>1</sup>, protecting groups or when two R<sup>2</sup> substitutents ortho to each

15 other, may be joined to a form a saturated or unsaturated cyclic ring, which may

optionally include up to two heteroatoms selected from O, NR<sup>1</sup> or S;

17 wherein P represents oxygen or sulfur;

18 wherein n represents 0-4;

19 Ar is substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted

20 or unsubstituted heterocyclic ring or substituted or unsubstituted heteroaryl ring;

21 X is oxygen, S(O)<sub>m</sub> or NR<sup>5</sup>;

22 R<sup>5</sup> represents hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted

23 alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl,

24 substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl,

25 substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or

26 unsubstituted heteroaryl, substituted or unsubstituted heterocyclic group, substituted or

27 unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -

- 28  $C(O)O-R^1$ ,  $-C(O)NR^1R^1$ ,  $-S(O)_m-R^1$ ,  $-S(O)_m-NR^1R^1$ , nitro, -OH, cyano, amino, formyl,
- 29 acetyl, halogen, -OR<sup>2</sup>, -SR<sup>2</sup> and protecting groups
- 30 m is 0, 1 or 2;
- 31 Y is  $-C(O)NR^4$ ,  $-NR^4SO_2$ ,  $-SO_2NR^4$  or  $-NR^4C(O)$ ;
- 32 R<sup>4</sup> is hydrogen, substituted or unsubstituted alkyl, hydroxyl, -OR<sup>1</sup>, -COOR<sup>1</sup>, substituted
- or unsubstituted aryl, substituted or unsubstituted heterocyclic ring;
- 34 and their analogs, their tautomers, their regioisomers, their stereoisomers, their
- 35 enantiomers, their diastereomers, their polymorphs, their pharmaceutically acceptable
- 36 salts, their N-oxides, their pharmaceutically acceptable solvates and their pharmaceutical
- 37 compositions containing them or a pharmaceutical acceptable salts thereof;
- which comprises the steps of:

39

40 (a) nitrating the compound of general formula (26)

$$(R^2)_n \xrightarrow{\qquad \qquad \qquad \qquad \qquad } R^3$$

41

- 42 where the symbols are defined in the above
- 43 to yield the nitro compounds of general formula (29)

$$(R^2)_n$$
 $X$ 
 $PR^1$ 

44

45

46 (b) reacting the compound of general formula (29) with a reducing agent to yield the amino compounds of general formula (30)

48

$$(R^2)_n \xrightarrow{\qquad \qquad \qquad } R^3$$

49

50 (c) reacting the amino compounds of general formula (30) with ArSO<sub>2</sub>Cl to yield the compounds of general formula (31)

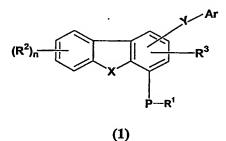
$$(R^2)_n$$
 $X$ 
 $PR^1$ 
 $R^3$ 

(d) alkylating the compounds of general formula (31) with an alkylating agent in the presence of a base to yield the compounds of general formula (1); and

$$(R^2)_n$$
 $NR^4SO_2Ar$ 
 $PR^1$ 
 $PR^1$ 

optionally converting the compounds of formula (1) into the corresponding Noxides by the action of a peracid.

62. A process for the preparation of compounds of general formula (1)



5 wherein:

R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> may be same or different and are independently selected from the groups consisting of hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heterocyclic group, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -C(O)-R<sup>1</sup>, -C(O)-R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl, acetyl, halogen,-OR<sup>1</sup>, -SR<sup>1</sup>, protecting groups or when two R<sup>2</sup> substitutents ortho to each other, may be joined to a form a saturated or unsaturated cyclic ring, which may optionally include up to two heteroatoms selected from O, NR<sup>1</sup> or S;

- 17 wherein P represents oxygen or sulfur;
- 18 wherein n represents 0-4;

19 Ar is substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted

- 20 or unsubstituted heterocyclic ring or substituted or unsubstituted heteroaryl ring;
- 21 X is oxygen, S(O)<sub>m</sub> or NR<sup>5</sup>;
- 22 R<sup>5</sup> represents hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted
- 23 alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl,
- 24 substituted or unsubstituted cycloalkylakyl, substituted or unsubstituted cycloalkenyl,
- 25 substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or
- 26 unsubstituted heteroaryl, substituted or unsubstituted heterocyclic group, substituted or
- 27 unsubstituted heterocyclylalkyl, substituted or unsubstituted heteroarylalkyl, -C(O)-R<sup>1</sup>, -
- 28 C(O)O-R<sup>1</sup>, -C(O)NR<sup>1</sup>R<sup>1</sup>, -S(O)<sub>m</sub>-R<sup>1</sup>, -S(O)<sub>m</sub>-NR<sup>1</sup>R<sup>1</sup>, nitro, -OH, cyano, amino, formyl,
- 29 acetyl, halogen, -OR<sup>2</sup>, -SR<sup>2</sup> and protecting groups
- 30 m is 0, 1 or 2;
- 31 Y is  $-NR^4C(O)$ ;
- 32 R<sup>4</sup> is hydrogen, substituted or unsubstituted alkyl, hydroxyl, -OR<sup>1</sup>, -COOR<sup>1</sup>, substituted
- or unsubstituted aryl, substituted or unsubstituted heterocyclic ring;
- 34 and their analogs, their tautomers, their regioisomers, their stereoisomers, their
- 35 enantiomers, their diastereomers, their polymorphs, their pharmaceutically acceptable
- 36 salts, their N-oxides, their pharmaceutically acceptable solvates and their pharmaceutical
- 37 compositions containing them or a pharmaceutical acceptable salts thereof;
- 38 which comprises the steps of;
- 39 (a) nitrating the compound of general formula (26)

$$(R^2)_n \xrightarrow{\qquad \qquad \qquad } R^3$$

$$26$$

40

41 to yield the nitro compounds of general formula (29)

$$(R^2)_n \xrightarrow{1 \atop X} R^3$$

42

43 (b) reacting the compound of general formula (29) with a reducing agent to yield the 44 amino compounds of general formula (30)

$$(\mathsf{R}^2)_n \xrightarrow{\qquad \qquad \qquad } X \xrightarrow{p_R^1} \mathsf{R}^3$$

46 30

reacting the amino compounds of general formula (30) with ArCOCl or a mixed anhydride of the formula ArCOOCOR<sup>5</sup> where R<sup>5</sup> substituted or unsubstituted alkyl, cycloalkyl, aryl, heterocyclic ring, heteroaryl, to yield the compounds of general formula (32)

51

$$(R^2)_n$$
 $NHCOAr$ 
 $R^3$ 
 $PR^1$ 

52

53 (d) alkylating the compounds of general formula (32) with an alkylating agent to 54 yield the compounds of general formula (1)

55

$$(R^2)_n$$
 $NR^4COAr$ 
 $PR^1$ 
 $R^3$ 

56

optionally converting the compounds of formula (1) into the corresponding Noxides by the action of a peracid

- 1 63. A pharmaceutical composition comprising a compound according to claims 1-51 2 or 52 and pharmaceutically acceptable salts or solvates thereof as well as 3 pharmaceutically acceptable diluents or carriers.
- 1 64. A method of treating inflammatory diseases, disorders and conditions 2 characterized by or associated with an undesirable inflammatory immune response and all
- 3 disease and conditions induced by or associated with an excessive secretion of TNF- $\alpha$  and
- 4 PDE-4 which comprises administering to a subject a therapeutically effective amount of a
- 5 compound according to claims 1-51 or 52.

1 65. A method of treating inflammatory conditions and immune disorders in a subject

- 2 in need thereof which comprises administering to said subject a therapeutically effective
- 3 amount of a compound according to claims 1-51 or 52.
- 1 66. The method according to claim 65 wherein said inflammatory conditions and
- 2 immune disorders is chosen from the group consisting of asthma, bronchial asthma
- 3 chronic obstructive pulmonary disease, allergic rhinitis, eosinophilic granuloma,
- 4 nephritis, rheumatoid arthritis, cystic fibrosis, chronic bronchitis, multiple sclerosis,
- 5 Crohns disease, psoraisis, uticaria, adult vernal cojunctivitis, respiratory distress
- 6 syndrome, rhematoid spondylitis, osteoarthritis, gouty arthritis, uteltis, allergic
- 7 conjunctivitis, inflammatory bowel conditions, ulcerative coalitis, eczema, atopic
- 8 dermatitis and chronic inflammation.
- 1 67. The method according to claim 66 wherein said inflammatory condition is an
- 2 allergic inflammatory condition.
- 1 68. The method according to claim 67 wherein said inflammatory conditions and
- 2 immune disorders are selected from the group consisting of inflammatory conditions or
- 3 immune disorders of the lungs, joints, eyes, bowels, skin and heart.
- 1 69. The method according to claim 68 whrein said inflammatory condition is chosen
- 2 from the group consisting of bronchial asthma, nepritis, and allergic rhinitis.
- 1 70. A method for abating inflammation in an affected organ or tissue comprising
- 2 delivering to said organ or tissue a therapeutically effective amount of a compound
- 3 represented by a compound according to claims 1-51 or 52.
- 1 71. A method of treating diseases of the central nervous system in a subject in need
- 2 thereof which comprises administering to said subject a therapeutically effective amount
- 3 of a compound according to claims 1-51 or 52.

1 72. The method according to claim 71 wherein said diseases of the central nervous

- 2 system are chosen from the group consisting of depression, amnesia, dementia,
- 3 Alzheimers disease, cardiac failure, shock and cerebrovascular disease.

- 1 73. A method of treating insulin resistant diabetes in a subject in need thereof which
- 2 comprises administering to said subject a therapeutically effective amount of a compound
- 3 according to claims 1-51 or 52.

## This Page is Inserted by IFW Indexing and Scanning Operations and is not part of the Official Record

## **BEST AVAILABLE IMAGES**

Defective images within this document are accurate representations of the original documents submitted by the applicant.

Defects in the images include but are not limited to the items checked:

BLACK BORDERS

IMAGE CUT OFF AT TOP, BOTTOM OR SIDES

FADED TEXT OR DRAWING

BLURRED OR ILLEGIBLE TEXT OR DRAWING

SKEWED/SLANTED IMAGES

COLOR OR BLACK AND WHITE PHOTOGRAPHS

GRAY SCALE DOCUMENTS

LINES OR MARKS ON ORIGINAL DOCUMENT

REFERENCE(S) OR EXHIBIT(S) SUBMITTED ARE POOR QUALITY

## IMAGES ARE BEST AVAILABLE COPY.

☐ OTHER:

As rescanning these documents will not correct the image problems checked, please do not report these problems to the IFW Image Problem Mailbox.